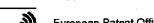
### PATENT COOPERATION TREATY

From the INTERNATIONAL SEARCHING AUTHORITY PCT To: WRITTEN OPINION OF THE see form PCT/ISA/220 INTERNATIONAL SEARCHING AUTHORITY (PCT Rule 43bis.1) Date of mailing (day/month/year) see form PCT/ISA/210 (second sheet) Applicant's or agent's file reference FOR FURTHER ACTION see form PCT/ISA/220 See paragraph 2 below International application No. International filing date (day/month/year) Priority date (day/month/year) PCT/B2005/000596 07.03.2005 19.03.2004 International Patent Classification (IPC) or both national classification and IPC A61K31/495, A61K31/435, C07D487/04, C07D471/04, A61P31/00 WARNER-LAMBERT COMPANY LLC This opinion contains indications relating to the following items: ☑ Box No. 1 Basis of the opinion ☐ Box No. II Priority ☑ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability ☐ Box No. IV Lack of unity of invention Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement ☐ Box No. VI Certain documents cited ☐ Box No. VII Certain defects in the international application ☐ Box No. VIII Certain observations on the international application **FURTHER ACTION** If a demand for international preliminary examination is made, this opinion will usually be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA"). However, this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notifed the International Bureau under Rule 66.1 bis(b) that written opinions of this International Searching Authority will not be so considered. If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of three months from the date of mailing of Form whichever expires later. For further options, see Form PCT/ISA/2 For further details, see notes to Form F DATE CKED BY:

Name and mailing address of the ISA:



European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d

Fax: +49 89 2399 - 4465

**Authorized Officer** 

Fritz, M

Telephone No. +49 89 2399-2792



| _             | Box No. I Basis of the opinion   |
|---------------|--|
| "" <b>1</b> . | With regard to the <b>language</b> , this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.  |
|               | This opinion has been established on the basis of a translation from the original language into the following language , which is the language of a translation furnished for the purposes of international search (under Rules 12.3 and 23.1(b)).   |
| 2.            | With regard to any nucleotide and/or amino acid sequence disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:   |
|               | a. type of material:   |
|               | □ a sequence listing   |
|               | ☐ table(s) related to the sequence listing   |
|               | b. format of material:   |
|               | ☐ in written format  |
|               | in computer readable form  |
|               | c. time of filling/furnishing:   |
| ,             | ☐ contained in the international application as filed.   |
|               | ☐ filed together with the international application in computer readable form.   |
|               | ☐ furnished subsequently to this Authority for the purposes of search.   |
| 3.            | ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table relating theret has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished. |
| 4             | Additional comments  |

ψ\$00 <del>- -</del>

| Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability  |   |                                     |  |  |  |
|---|---|-------------------------------------|--|--|--|
| The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non obvious), or to be industrially applicable have not been examined in respect of: |   |                                     |  |  |  |
|   | the entire international application,   |                                     |  |  |  |
| Š   | claims Nos. 12  |                                     |  |  |  |
| bed   | cause:  |                                     |  |  |  |
| ×   | the said international application, or the said claims Nos. 12 with respect to industrial applicability relate to the following subject matter which does not require an international preliminary examination (specify): |                                     |  |  |  |
|   | see separate sheet  |                                     |  |  |  |
|   | the description, claims or drawings (indicate particular elements below) or said claims Nos. are so unclear that no meaningful opinion could be formed (specify):   |                                     |  |  |  |
|   | the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.  |                                     |  |  |  |
|   | no international search report has been established for the whole application or for said claims Nos.   |                                     |  |  |  |
|   | the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:   |                                     |  |  |  |
|   | the written form  | ☐ has not been furnished            |  |  |  |
|   |   | ☐ does not comply with the standard |  |  |  |
|   | the computer readable form  | ☐ has not been furnished            |  |  |  |
|   |   | ☐ does not comply with the standard |  |  |  |
|   | the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in Annex C-bis of the Administrative Instructions. |                                     |  |  |  |
|   | See separate sheet for further  | details                             |  |  |  |

Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)

Yes: Claims

1-13

No:

Claims

Inventive step (IS)

Yes: Claims

1-13

No:

Claims

Industrial applicability (IA)

Yes: Claims 1-11,13

No: Claims

2. Citations and explanations

see separate sheet

#### Re Item III

Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

Claim 12 relates to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with re-spect to the industrial applicability of the subject-matter of this claim (Art. 34(4)(a)(i) PCT).

### Re Item V

Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

D1: US-A-4 105 767 (BOCHIS ET AL) 8 August 1978 (1978-08-08)

D2: US-A-4 096 264 (BOCHIS ET AL) 20 June 1978 (1978-06-20)

D3: US-A-4 250 174 (BOCHIS, RICHARD J ET AL) 10 February 1981 (1981-02-10)

D4: REVANKAR ET AL.: "Synthesis and Antimicrobial Activity of Certain Imidazo[1,2-a]pyrimidines" J. MED. CHEM., vol. 18, no. 12, 1975, pages 1253-1255, XP002329731

The present application relates to compounds of the general formula I (claims 1-10), pharmaceutical formulations thereof (claim 11), the use thereof as a medicine (claim 12) as well as the use thereof for the manufacture of a medicament (claim 13)

For the assessment of the present claim 12 on the question whether it is industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a com-pound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.

Compounds which are structurally close to the compounds I according to the present case are known from D1-D3. The compounds disclosed in these prior art documents are used

## WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY (SEPARATE SHEET)

International application No.

PCT/IB2005/000596

as anthelmintic substances.

Imidazo[1,2-a]pyridines with antibacterial activities are known from D4, the compounds I according to the present case have, however, a completely different substitution pat-tern.

Therefore the subject-matter of claims 1-13 according to the present case is novel in the sense of Article 33(2) PCT.

Closest prior art is D4.

The problem underlying the present application can therefore be formulated as to provide further imidazo[1,2-a]pyridine derivatives which are suitable as antibacterial agents.

This problem has been solved, as can be seen in the description.

The compounds I cannot be considered obvious for the man skilled in the art, as their substitution is completely different from that of the compounds disclosed in D4, i.e. a man skilled in the art being aware of D4 had no incentive to carry out the modifications which would have been necessary to arrive at a representative of the compounds I.

An inventive step in the sense of Article 33(3) PCT can therefore be acknowledged for the subject-matter of claims 1-13.

Further objections:

Contrary to the requirements of Rule 5.1(a)(ii) PCT, the relevant background art dis-closed in the documents D1-D4 is not mentioned in the description, nor are these do-cuments identified therein.

### PATENT COOPERATION TREATY

|   | :  |  |                                       |   | PCT   |  |  |
|---|--|--|---------------------------------------|---|---|--|--|
|   | •  |  |                                       |   |   |  |  |
|   | •  | :  |                                       |   |   |  |  |
|   | see form   | PCT/ISA/220  |                                       | WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORIT (PCT Rule 43 <i>bis.</i> 1) |   |  |  |
|   |  |  |                                       |   |   |  |  |
|   |  | 5  |                                       |   |   |  |  |
|   |  |  |                                       | Date of mailing   |   |  |  |
|   |  |  |                                       | (day/month/year) see form PCT/ISA/210 (second sheet)                                |   |  |  |
| App   | olicant's or agent's file  | reference  |                                       | FOR FURTHER ACTION  |   |  |  |
| see form PCT/ISA/220  |  |  |                                       | See paragraph 2 below   |   |  |  |
|   | rnational application  |  | ernational filing date                | (day/month/year)  | Priority date (day/month/year)  |  |  |
| PC  | T/B2005/000596   | 07   | 7.03.2005                             |   | 19.03.2004  |  |  |
|   |  | sification (IPC) or both 1/435, C07D487/04   |                                       |   | / Or  |  |  |
|   |  |  | 4, CU/D4/1/04, /                      | MOTP31/00   |   |  |  |
|   | olicant<br>ADNER-I AMREE   | T COMPANY LLC  |                                       | •   |   |  |  |
| / / /   | AUNEU-PAINDEL  |  | <b></b>                               | <del></del>   |   |  |  |
|   |  |  |                                       | · .   |   |  |  |
| ١.  | This opinion co  | ntains indications   | relating to the fol                   | llowing items:  |   |  |  |
| ☑ Box No. I Basis of the opinion  |  |  |                                       |   |   |  |  |
|   | □ Box No. II Priority  |  |                                       |   |   |  |  |
|   | Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability |  |                                       |   |   |  |  |
|   | □ Box No. IV   |  |                                       |   |   |  |  |
|   | ☑ Box No. V  | Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement |                                       |   |   |  |  |
|   | ☐ Box No. VI Certain documents cited   |  |                                       |   |   |  |  |
|   | ☐ Box No. VII  | No. VII Certain defects in the international application   |                                       |   |   |  |  |
|   | ☐ Box No. VIII   | Certain observation  | s on the internatio                   | nal application   |   |  |  |
| 2.  | FURTHER ACTI   | ON   |                                       | •   |   |  |  |
| If a demand for international preliminary examination is made, this opinion will usually be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA"). However, this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notifed the International Bureau under Rule 66.1 bis(b) that written opinions of this International Searching Authority will not be so considered. |  |  |                                       |   |   |  |  |
|   | Mahin aninian is   | as provided above, c   | considered to be a ether, where appro | written opinion of  | the IPEA, the applicant is invited to diments, before the expiration of three |  |  |
|   | submit to the IPE  | date of mailing of Fo  | rm PCT/ISA/220 o                      | r before the expira   | tion of 22 months from the priority date,                                     |  |  |
|   | submit to the IPE<br>months from the<br>whichever expire   | date of mailing of Fo  | rm PCT/ISA/220 o                      | r before the expira   | tion of 22 months from the priority date,                                     |  |  |
| 3.  | submit to the IPE months from the whichever expire   | date of mailing of Fo<br>s later.  | rm PCT/ISA/220 o<br>A/220.            | r before the expira   | tion of 22 months from the priority date,                                     |  |  |
| <b>3.</b>   | submit to the IPE months from the whichever expire   | date of mailing of Fors later.  Is, see Form PCT/IS/   | rm PCT/ISA/220 o<br>A/220.            | r before the expira   | tion of 22 months from the priority date,                                     |  |  |
| 3.  | submit to the IPE months from the whichever expire   | date of mailing of Fors later.  Is, see Form PCT/IS/   | rm PCT/ISA/220 o<br>A/220.            | r before the expira   | tion of 22 months from the priority date,                                     |  |  |
| 3.  | submit to the IPE months from the whichever expire   | date of mailing of Fors later.  Is, see Form PCT/IS/   | rm PCT/ISA/220 o<br>A/220.            | r before the expira   | tion of 22 months from the priority date,                                     |  |  |
|   | submit to the IPE months from the whichever expire For further option  | date of mailing of Fors later.  Is, see Form PCT/IS/  Is, see notes to Form  | rm PCT/ISA/220 o<br>A/220.            | r before the expira   | tion of 22 months from the priority date,                                     |  |  |
|   | submit to the IPE months from the whichever expire   | date of mailing of Fors later.  Is, see Form PCT/IS/  Is, see notes to Form  | rm PCT/ISA/220 o<br>A/220.            | Authorized Office   | tion of 22 months from the priority date,                                     |  |  |
| 3.<br>Nam   | submit to the IPE months from the whichever expire For further option For further detail                     | date of mailing of Fors later.  Is, see Form PCT/IS/  Is, see notes to Form  S of the ISA:   | rm PCT/ISA/220 o<br>A/220.            | r before the expira   | tion of 22 months from the priority date,                                     |  |  |

| · <u> </u> | Box No. I   | Basis of the opinion   |  |   |   |                                |
|------------|---|--|--|---|---|--------------------------------|
| -<br>      | . With regard to the <b>language</b> , this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item. |  |  |   |   |                                |
|            | langua  | pinion has been establish<br>ge , which is the langu<br>Rules 12.3 and 23.1(b)).                                       | age of a translation furi                        | inslation from the onished for the purp | original language<br>poses of internation | into the following onal search |
| <b>2</b> . | With regard<br>necessary  | to any <b>nucleotide and/</b><br>to the claimed invention, t   | or amino acid sequent<br>this opinion has been e | ce disclosed in the stablished on the l | international app<br>basis of:            | plication and                  |
|            | a. type of n  | naterial:  |  |   |   |                                |
| *4         | □ as  | equence listing  |  |   |   |                                |
|            | □ tabl  | e(s) related to the sequer   | nce listing                                      |   |   |                                |
| ."         | b. format of  | material:  |  |   |   |                                |
|            | □ in w  | ritten format  | ÷  |   |   |                                |
|            | ☐ in c  | omputer readable form  |  |   |   |                                |
|            | c. time of fil  | ing/furnishing:  |  | ,                                       |   |                                |
| ,          | ☐ con   | tained in the international  | application as filed.                            |   |   |                                |
| •          | ☐ filed   | I together with the interna  | tional application in co                         | mputer readable fo                      | orm.                                      | ·. ·                           |
|            | ☐ furn  | ished subsequently to this   | s Authority for the purp                         | oses of search.                         | •   |                                |
| <b>3.</b>  | has be<br>copies  | tion, in the case that more<br>en filed or furnished, the r<br>is identical to that in the a<br>riate, were furnished. | equired statements that                          | at the information i                    | in the subsequen                          | it or additional               |
| 4.         | Additional of   | omments:   | •  | • |   |                                |

|     | x No. III Non-establishment<br>plicability  | of op  | pinion with regard to novelty, inventive step and industrial  |  |  |
|-----|---|--------|---|--|--|
| Th  | e questions whether the claimed<br>vious), or to be industrially applic   | l inve | ention appears to be novel, to involve an inventive step (to be non have not been examined in respect of: |  |  |
|     | the entire international application,   |        |   |  |  |
| ⋈   | claims Nos. 12  |        |   |  |  |
| bed | cause:  |        |   |  |  |
| Ø   | the said international application, or the said claims Nos. 12 with respect to industrial applicability relate to the following subject matter which does not require an international preliminary examination (specify): |        |   |  |  |
|     | see separate sheet  |        |   |  |  |
|     | the description, claims or drawings (indicate particular elements below) or said claims Nos. are so unclear that no meaningful opinion could be formed (specify):   |        |   |  |  |
|     | the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.  |        |   |  |  |
|     | no international search report has been established for the whole application or for said claims Nos.   |        |   |  |  |
| . 🗆 | the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:   |        |   |  |  |
|     | the written form  |        | has not been furnished  |  |  |
|     |   |        | does not comply with the standard   |  |  |
|     | the computer readable form  |        | has not been furnished  |  |  |
|     |   |        | does not comply with the standard   |  |  |
|     | the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in Annex C-bis of the Administrative Instructions. |        |   |  |  |
|     | See separate sheet for further  | detaii | <b>is</b>   |  |  |

International application No. PCT/IB2005/000596

Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novetty (N)

Yes: Claims

1-13

No:

Claims

Inventive step (IS)

Yes: Claims

1-13

No: Claims

Industrial applicability (IA)

Yes: Claims

1-11,13

No: Claims

2. Citations and explanations

see separate sheet

#### Re Item III

digar

Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

Claim 12 relates to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with re-spect to the industrial applicability of the subject-matter of this claim (Art. 34(4)(a)(i) PCT).

### Re Item V

Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

D1: US-A-4 105 767 (BOCHIS ET AL) 8 August 1978 (1978-08-08)

D2: US-A-4 096 264 (BOCHIS ET AL) 20 June 1978 (1978-06-20)

D3: US-A-4 250 174 (BOCHIS, RICHARD J ET AL) 10 February 1981 (1981-02-10)

D4: REVANKAR ET AL.: "Synthesis and Antimicrobial Activity of Certain Imidazo[1,2-a]pyrimidines" J. MED. CHEM., vol. 18, no. 12, 1975, pages 1253-1255, XP002329731

The present application relates to compounds of the general formula I (claims 1-10), pharmaceutical formulations thereof (claim 11), the use thereof as a medicine (claim 12) as well as the use thereof for the manufacture of a medicament (claim 13)

For the assessment of the present claim 12 on the question whether it is industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a com-pound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.

Compounds which are structurally close to the compounds I according to the present case are known from D1-D3. The compounds disclosed in these prior art documents are used

# WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY (SEPARATE SHEET)

International application No.

PCT/IB2005/000596

as anthelmintic substances.

Imidazo[1,2-a]pyridines with antibacterial activities are known from D4, the compounds I according to the present case have, however, a completely different substitution pat-tern.

Therefore the subject-matter of claims 1-13 according to the present case is novel in the sense of Article 33(2) PCT.

Closest prior art is D4.

The problem underlying the present application can therefore be formulated as to provide further imidazo[1,2-a]pyridine derivatives which are suitable as antibacterial agents.

This problem has been solved, as can be seen in the description.

The compounds I cannot be considered obvious for the man skilled in the art, as their substitution is completely different from that of the compounds disclosed in D4, i.e. a man skilled in the art being aware of D4 had no incentive to carry out the modifications which would have been necessary to arrive at a representative of the compounds I.

An inventive step in the sense of Article 33(3) PCT can therefore be acknowledged for the subject-matter of claims 1-13.

#### Further objections:

Contrary to the requirements of Rule 5.1(a)(ii) PCT, the relevant background art dis-closed in the documents D1-D4 is not mentioned in the description, nor are these do-cuments identified therein.